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NEWS
                   Web Page URLs for STN Seminar Schedule - N. America
                   "Ask CAS" for self-help around the clock
NEWS
     3 FEB 25 CA/CAPLUS - Russian Agency for Patents and Trademarks
NEWS
                   (ROSPATENT) added to list of core patent offices covered
NEWS 4 FEB 28 PATDPAFULL - New display fields provide for legal status
                   data from INPADOC
NEWS 5 FEB 28 BABS - Current-awareness alerts (SDIs) available
NEWS 6 FEB 28 MEDLINE/LMEDLINE reloaded
NEWS 7 MAR 02 GBFULL: New full-text patent database on STN
NEWS 8 MAR 03 REGISTRY/ZREGISTRY - Sequence annotations enhanced
NEWS 9 MAR 03 MEDLINE file segment of TOXCENTER reloaded
NEWS 10 MAR 22 KOREAPAT now updated monthly; patent information enhanced
NEWS 11 MAR 22 Original IDE display format returns to REGISTRY/ZREGISTRY
NEWS 12 MAR 22 PATDPASPC - New patent database available
NEWS 13 MAR 22 REGISTRY/ZREGISTRY enhanced with experimental property tags
NEWS 14 APR 04 EPFULL enhanced with additional patent information and new
                   fields
NEWS 15 APR 04 EMBASE - Database reloaded and enhanced
NEWS 16 APR 18 New CAS Information Use Policies available online
NEWS 17 APR 25 Patent searching, including current-awareness alerts (SDIs),
                   based on application date in CA/CAplus and USPATFULL/USPAT2
                   may be affected by a change in filing date for U.S.
                   applications.
                   Improved searching of U.S. Patent Classifications for
NEWS
     18 APR 28
                   U.S. patent records in CA/CAplus
               JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT
NEWS EXPRESS
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NEWS EXPRESS JANUARY 10 CURRENT WINDOWS VERSION IS V7.01a, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0jc(JP), AND CURRENT DISCOVER FILE IS DATED 10 JANUARY 2005

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FILE 'HOME' ENTERED AT 16:37:17 ON 19 MAY 2005

=> file reg
COST IN U.S. DOLLARS

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FULL ESTIMATED COST

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STRUCTURE FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4 DICTIONARY FILE UPDATES: 18 MAY 2005 HIGHEST RN 850688-83-4

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TSCA INFORMATION NOW CURRENT THROUGH JANUARY 18, 2005

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at: http://www.cas.org/ONLINE/DBSS/registryss.html

Uploading C:\Program Files\Stnexp\Queries\10613782.str

$$G_2$$
 G_1
 N
 G_3

11 14 6 2 8 8 12 4 10 16

chain nodes :
12 14 16
ring nodes :
1 2 3 4 5 6 7 8 9 10 1

chain bonds :

5-12 6-14 7-11 9-16

ring bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

exact/norm bonds : 5-12 6-14 7-11 9-16

normalized bonds :

1-2 1-6 2-3 2-7 3-4 3-10 4-5 5-6 7-8 8-9 9-10

isolated ring systems :

containing 1:

G1:0,N

G2:H, X, Ak

G3:Ak,NH2

Match level:

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom 11:Atom 12:CLASS 14:CLASS 16:CLASS

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR

G1 O, N

G2 H, X, Ak

G3 Ak,NH2

Structure attributes must be viewed using STN Express query preparation.

1 ANSWERS

=> s l1 sample

SAMPLE SEARCH INITIATED 16:38:21 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 1855 TO ITERATE

53.9% PROCESSED 1000 ITERATIONS

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

PROJECTED ITERATIONS:

PROJECTED ANSWERS:

BATCH **COMPLETE**

34517 TO 39683

1 TO 118

L2 1 SEA SSS SAM L1

=> d scan 12

L2 1 ANSWERS REGISTRY COPYRIGHT 2005 ACS on STN
Quinazoline, 7-(cyclopropylmethoxy)-2-methyl-4-(1-pyrrolidinyl)- (9CI)
HF C17 H21 N3 O
CI COM

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> s 11 full

FULL SEARCH INITIATED 16:39:08 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 37212 TO ITERATE

100.0% PROCESSED 37212 ITERATIONS

36 ANSWERS

162.40

SEARCH TIME: 00.00.02

L3 36 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION

FULL ESTIMATED COST 162.19

FILE 'CAPLUS' ENTERED AT 16:39:15 ON 19 MAY 2005 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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FILE COVERS 1907 - 19 May 2005 VOL 142 ISS 21 FILE LAST UPDATED: 18 May 2005 (20050518/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13

L4 3 L3

=> d 14 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 3 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN ACCESSION NUMBER: 2004:41451 CAPLUS DOCUMENT NUMBER: 140:111423

140:111423
Quinazoline derivatives useful as neuropeptide Y (NPY)
receptor ligands, particularly antagonists, their
preparation and pharmaceutical compositions, and their
use in the treatment of, e.g. obesity
Mattei, Patrizio Musiller, Verner: Neidhart, Werner;
Nettekoven, Matthias Heinrich; Pflieger, Philippe
P. Hoffmann-La Roche Ag, Switz.
PCT Int. Appl.. 44 pp.
CODEN: PIXXO2
Patent

INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE:

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PATENT N | ю. | | DATE | | | | DATE | |
|---------------|-------------|----------|-----------|---------|----------|---------|---------|-----|
| | | | 20040115 | | 003 556 | | 20020 | |
| | 05265 | | | | | | | |
| ¥: | AE, AG, AL, | AH, AT | , AU, AZ, | BA, BB, | BG, BR, | BY, BZ, | CA, CH, | CN, |
| | CO, CR, CU, | CZ, DE | , DK, DM, | DZ, EC, | EE, ES, | FI, GB, | GD, GE, | GH, |
| | GM, HR, HU, | ID, IL | , IN, IS, | JP, KE, | KG, KP, | KR, KZ, | LC, LK, | LR, |
| | LS. LT. LU. | LV. MA | , MD, MG, | MK, MN, | MW, MX, | MZ, NO. | NZ, OM, | PH. |
| | PL, PT, RO, | RU, SD | , SE, SG, | SK, SL, | TJ, TM, | TN, TR, | TT, TZ, | UA, |
| | UG, UZ, VN | YU, ZA | , ZM, ZW | | | | | |
| RW: | GH, GM, KE, | LS, MW | , MZ, SD, | SL, SZ, | TZ, UG, | ZM, ZW, | AM, AZ, | BY, |
| | KG, KZ, MD, | RU, TJ | , TM, AT, | BE, BG, | CH, CY, | CZ, DE, | DK, EE, | ES, |
| | FI, FR, GB, | GR, HU | , IE, IT, | LU, MC, | NL, PT, | RO, SE, | SI, SK, | TR, |
| | BF, BJ, CF | . CG, CI | , CH, GA, | GN, GQ, | GW, ML, | MR, NE, | SN, TD, | TG |
| | 251 | | | | | | | |
| US 20040 | 129901 | A1 | 20040212 | | | | | |
| PRIORITY APPI | N. INFO.: | | | EP 2 | 002-1490 | 4 . | A 20020 | 705 |
| | | | | WO 2 | 003-EP68 | 68 | w 20030 | 627 |
| OTHER SOURCE | (5): | MARPAT | 140:1114 | 23 | | | | |

Title compds. I and their pharmaceutically acceptable salts and esters can be used in the form of pharmaceutical prepns. For the treatment or prevention of arthritis, cardiovascular diseases, diabetes, renal failure, eating disorders, and obesity [wherein: R1 = OR4 or NR5R6; = alkyl or

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

646450-66-0 CAPLUS 2-Pyrrolidin-2-Pyrrolidinemethanol, 1-{2-methyl-7-(phenylmethoxy)-4-quinazolinyl}-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

646450-67-1 CAPLUS
7-Quinazolinol, 4-[(25)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl- (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

646450-73-9 CAPLUS Quinazollne, 4-[(35)-3-ethoxy-l-pyrrolidinyl]-2-methyl-7-(phenylmethoxy)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) aminor R3 = H. alkyl, or halogen; R4 = H. alkyl, alkoxyalkyl, aralialyl, heterocyclylalkyl, cycloalkylalkyl, aralialyl, heterocyclylalkyl, cycloalkylalkyl, antino-502-, or alkyl-502-; R5, R6 = H. alkyl, cycloalkyl, cycloalkylalkyl, alkylcarbonyl, cycloalkylachonyl, aryl, aralkyl, arylcarbonyl, alkoxyalkyl, hydroxyalkyl, heterocyclyl, aralkyl, arylcarbonyl, alkoxyalkyl, hydroxyalkyl, heterocyclyl, aralkyl, arylcarbonyl, alkyl-502-, or NN5A6 = S- to 10-membered heterocyclic ring optionally dust and/or alkoxyn NRR' = S- to 7-membered satd. heterocyclic ring optionally contg. a second heteroatom (0, N, or S) and, optionally substituted with alkyl and/or alkoxyn NRR' = S- to 7-membered satd. heterocyclic ring optionally contg. a second heteroatom (0, N, or S) and, optionally substituted by halogen, alkyl, alkoxy, haloalkoxy, cycloalkylalkoxy, hydroxy, amino, acetylamino, cyano, hydroxyalkyl, alkoxyalkyl, haloalkoxyalkyl, and cycloalkylalkoxyalkyl, bronched encompleted (1) alkoxyalkyl, haloalkoxyalkyl, and cycloalkylalkoxyalkyl, are selective neuropeptide Y (NPY) antagonists, and in particular, they are antagonists for the Y5 receptor subtypes. Approx. 34 specific examples were prepd., and 10 of these are claimed. For instance, 4-bromoanthranilic acid was cyclocondensed with acetyl chloride to give 99.4 7-bromo-2-methyl-3H-quinazolin-4-one, which was treated with PCC13 and PhNMe2 to give 594 .7-bromo-2-methyl-3H-quinazoline-4-chloro-2-methylquinazoline. Aminolysis of this dihalide, first with pyrrolidine at the 4-position (100%), and then with isobutylamine at the 7-position, gave a preferred invention compd. II. In tests for displacement of labeled peptide YY (PYY) from mouse brain NPY5 receptors expressed in HEX 293 cells, compd. II had an ICSO value of 3 M. 646450-52-4P, 7-Benzyloxy-2-methyl-4-pyrrolidin-1-ylquinazoline-7-ol 646450-67-39. S)-4-4-(3-ethoxypyrrolidin-1-ylquinazolin-7-ol 646450-67-39. S)-4-4-(3-ethoxypyrrolidin-1-yl)-2-methylquinazoline-7-ol

for

treatment of obesity, etc.)
646450-52-4 CAPIUS
Quinazoline, 2-methyl-7-(phenylmethoxy)-4-(1-pyrrolidinyl)- (9CI) (CA
INDEX NAME)

646450-53-5 CAPLUS 7-Quinazolinol, 2-methyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

646450-74-0 CAPLUS 7-Quinazolinol, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

646450-76-2 CAPLUS 3-Pyrrolidinol, 1-[2-methyl-7-(phenylmethoxy)-4-quinazolinyl]-, (35)-(9C1) (CA INDEX NAME)

Absolute stereochemistry.

646450-77-3 CAPLUS 7-Quinazolinol. 4-[(3S)-3-hydroxy-1-pyrrolidiny1]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

(drug candidate; preparation of quinazoline derivs. as NPY antagonists

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

$$\bigcap_{N \text{ CH}_2-0} - \bigcap_{N \text{ N}} \bigcap_{N}^{\text{Me}}$$

646450-63-7 CAPLUS
2-Pyridinecarbonitrile, 5-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

646450-64-8 CAPLUS Quinazoline, 7-(cyclopropylmethoxy)-2-methyl-4-(1-pyrrolidinyl)-, monbydrochloride (9CI) (CA INDEX NAME)

646450-65-9 CAPLUS Benzonitrile, 4-[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl)oxy]- (9CI) (CA INDEX NAME)

ANSVER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) treatment of obesity, etc.) 646450-56-8 CAPLUS Benzonitrile, 4-[[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]owy]methyl]-(9CI) (CA INDEX NAME)

646450-58-0 CAPLUS Quinazoline, 7-[(2-chloro-3-pyridinyl)methomy]-2-methyl-4-(1-pyrrolidinyl)-(9CI) (CA INDEX NAME)

$$\bigcap_{N \to -CH_2-0} -CH_2-0 - \bigcap_{N \to -N} \bigcap_{N \to -CH_2-0} - \bigcap_{N \to -N} -\bigcap_{N \to -CH_2-0} - \bigcap_{N \to -CH_2-0}$$

646450-61-5 CAPLUS
Benzonitrile, 2-[[[2-methyl-4-{l-pyrrolidinyl}-7-quinazolinyl]oxy]methyl](9C1) (CA INDEX NAME)

646450-62-6 CAPLUS Quinazoline, 7-(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-(1-pyrrolidinyl)-(9CI) (CA INDEX NAME)

ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

646450-68-2 CAPLUS
Benzonitrile, 4-[[4-[(25)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

646450-69-3 CAPLUS
2-Pyrrolidinemethanol, 1-[7-[(2-chloro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (25)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

646450-70-6 CAPLUS
2-Pyrcolidinesethanol, 1-[7-[(2-fluoro-3-pyridinyl)methoxy]-2-methyl-4-quinazolinyl]-, (23)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 646450-71-7 CAPLUS
CN 2-Pyridinecarbonitrile, 5-[[[4-{(2S)-2-(hydroxymethyl)-1-pyrrolidinyl]-2-methyl-7-quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 646450-72-8 CAPLUS
CN 2-Pyrrolidinemethanol, 1-[7-(cyclopropylmethoxy)-2-methyl-4-quinazolinyl], (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 646450-75-1 CAPLUS
CN Benzonitrile, 4-[[[4-[(3S)-3-ethoxy-1-pyrrolidiny1]-2-methy1-7-

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 646450-82-0 CAPLUS
CN 7-Quinazolinamine, N-[(2-chlorophenyl)methyl]-2-methyl-4-(1-pyrrolidinyl)(9C1) (CA INDEX NAME)

RN 646450-83-1 CAPLUS
CN 7-Quinazolinamine, 2-methyl-N-[(2-methylphenyl)methyl]-4-(1-pyrcolidinyl)(9CI) (CA INDEX NAME)

RN 646450-84-2 CAPLUS

RnZonitrile, 4-[[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]amino]- (9CI)
(CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) quinazolinyl]oxy]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 646450-79-5 CAPLUS
CN 7-Quinaz/inamine, N-(cyclopropylmethyl)-2-methyl-4-(1-pyrrolidinyl)(9C1) (CA INDEX NAME)

RN 646450-80-8 CAPLUS
7-Quinazolinamine, 2-methyl-N-(2-methylpropyl)-4-(1-pyrrolidinyl)- (9C1)
(CA INDEX NAME)

RN 646450-81-9 CAPLUS
CN 7-Quinazolinamine, N-{2,2-dimethylpropyl}-2-methyl-4-(1-pyrrolidinyl)(9C1) (CA INDEX NAME)

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

RN 646450-85-3 CAPIUS
CN 7-Quinazolinamine, N-(4-fluorophenyl)-2-methyl-4-(1-pycrolidinyl)- (9CI)
(CA INDEX NAME)

RN 646450-86-4 CAPLUS CN 7-Quinazolinamine, 2-methyl-N-3-pyridinyl-4-(1-pyrrolidinyl)- (9CI) (CA INDEX NAME)

RN 646450-87-5 CAPLUS
CN 2-Purancarboxamide, N-[2-methyl-4-(1-pyrrolidinyl)-7-quinazolinyl]- (9CI)
(CA INDEX NAME)

RN 646450-88-6 CAPLUS
CN 7-Quinazolinamine, 4-[(35)-3-ethoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl(9C1) (CA INDEX NAME)

Absolute stereochemistry

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued)

646450-89-7 CAPLUS
7-Quinazolinamine, 4-[(3S)-3-ethoxy-1-pyrrolidinyl]-N-(4-fluorophenyl)-2-methyl- (9CI) (CA INDEX NAME)

646450-90-0 CAPLUS
7-Quinazolinamine, 4-{ [3S]-3-methoxy-1-pyrrolidinyl]-2-methyl-N-3-pyridinyl | 9CI) (CA INDEX NAME)

Absolute stereochemistry.

REFERENCE COUNT:

THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS ON STN SSION NUMBER: 1999:172597 CAPLUS MENT NUMBER: 130:209716

DOCUMENT NUMBER: TITLE:

130:209716
Preparation of 2-vinyl-4-aminoquinazoline derivatives as insulin secretion promoters and antidiabetics Ueno, Kimihisar Nomoto, Yujir Takasaki, Kotaror Yoshida, Mihor Kusaka, Hideakir Yano, Hiroshir Nakanishi, Satoshir Matsuda, Yuzurur Uesaka, Noriakir Suzuki, Chiharu Kyowa Hakko Kogyo Co., Ltd., Japan; et al. PCT Int. Appl., 113 pp.
CODEN: PINXO2
Patent INVENTOR(S):

PATENT ASSIGNEE(S): SOURCE:

DOCUMENT TYPE: Patent

LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

| PA | TENT | NO. | • | | KIN | D | DATE | | | APPL | ICAT | ION | NO. | | D. | ATE | |
|------------|------|-----|-------------|-----|-----|----------------|------|-----|-----|------|----------|------|-----|-----|-----|-----|-----|
| WO 9909986 | | | A1 19990304 | | | WO 1998-JP3711 | | | | | 10000021 | | | | | | |
| •0 | | | | | | | | | | | | | | | | | |
| | w: | ΑU, | BG, | BR, | CA, | CN, | cz, | ΗU, | IL, | JP, | ĸR, | MX, | NO, | NZ, | PL, | RO, | SG, |
| | | | | | | | | | | | | | | TJ, | | | |
| | RW: | AT, | BE, | CH, | CY, | DE, | ĐK, | ES, | FI, | FR, | GB, | GR, | IE, | IT, | LU, | MC, | NL, |
| | | PT, | | | | | | | | | | | | | | | |
| 2011 | 0007 | 407 | | | | | 1000 | 216 | | | 000 | 0740 | 7 | | • | ~~~ | |

AU 1998-87487 JP 1997-225963 WO 1998-JP3711 AU 9887487 PRIORITY APPLN. INFO.:

MARPAT 130:209716 OTHER SOURCE(S):

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Claimed are insulin secretion promoters and remedies for diabetes which contain as the active ingredient 2-vinyl-4-aminoquinazoline derivs. represented by general formula (I) or pharmacol. acceptable salts thereof [wherein R1A and R1B are the same or different and each represents hydrogen, lower alkyl, lower alkow, halogeno, nitro, NR3R4 (wherein R3 and R4 are the same or different and each represents hydrogen or lower alkyl, etc.; or R1A may form together with R1B adjacent thereto O(CR12) nO (wherein in is lot 2); Oy represents optionally substituted aryl; R2 represents hydrogen or optionally substituted lower alkyl, and A represents hydrogen or optionally substituted lower alkyl, and A represents hydrogen or optionally substituted lower alkyl, optionally substituted cycloalkyl, etc.; or R2 and A may form together with the nitrogen atom adjacent thereto an optionally substituted theterocycle]. These compds. exhibited insulin secretion activity at high concentration of 2000s

glucose (14.5 mM) but no substantial activity at low concentration glucose (S5 mM). For comparison, glubenclamide did exhibit substantial insulin-secretion activity at low concentration of glucose. Thus, 7-chloro-7-methowy-2-[2-(E)-(2,4-dimethoxypheny)\vlently]quinazoline was condensed with N-methylphenethylamine to give the title compound (II). II in vitro showed insulin secretion activity of 3,413 m/ghL at 1 µM under 14.5 mM glucose and 86 mg/mL at 10 µM under 5 mM glucose in spleen β-cells (MIN6) as compared to that of 684 mg/mL at 0.1 µM under 14.5 mM glucose and 317 mg/mL at 0.1 µM under 5 mM glucose for glubenclamide.

IT 221008-07-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

L4 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 2 OF 3 CAPLUS COPYRIGHT 2005 ACS on STN (Continued) study, unclassified), SPN (Synthetic preparation), THU (Therapsutic use), BIOL (Biological study), PREP (Preparation), USES (Uses) (prepn. of vinylaminoquinazoline derivs. as insulin secretion promoters and antidiabetics) 221008-87-3 CAPLUS (Quinazoline, 2-[(1E)-2-(4-ethoxyphenyl)ethenyl]-7-methoxy-4-(1-piperidinyl)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

REFERENCE COUNT:

THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|-----|---------------------|------|----------|-----------------|----------|
| | | | | | |
| | DE 2135172 | A | 19720120 | DE 1971-2135172 | 19710714 |
| | US 3753981 | A | 19730821 | US 1970-55252 | 19700715 |
| | CH 532056 | λ | 19730215 | CH 1971-532056 | 19710714 |
| | CA 971962 | A1 | 19750729 | CA 1971-118193 | 19710714 |
| | FR 2100916 | A5 | 19720324 | FR 1971-25952 | 19710715 |
| | FR 2100916 | B1 | 19741018 | | |
| | HU 163174 | P | 19730628 | HU 1971-SU648 | 19710715 |
| | GB 1364294 | A | 19740821 | GB 1971-33228 | 19710715 |
| RIC | ORITY APPLN. INFO.: | | | US 1970-55252 A | 19700715 |
| | | | | | |

GB 1364294 A 19740821 GB 1971-33228 19710715

GRITY APPIM. INFO.:

For diagram(s), see printed CA Issus.

For diagram(s), see printed CA Issus.

The title compds. [I, R = NHCHMe(CH2)3NE2, morpholino, or

4-methyl-1-piperarinyl; Rl = H, Cl, OMe, or NO2; R2 = H or Cl], useful as

antiinflammatory agents, were prepared by treatment of 2-styryl-4(3H)-quinazolinones with POCl3 to give I (R = Cl) and reaction with amines.

Thus, 28.3 g 6-chloro-2-styryl-4(3H)-quinazolinone was refluxed 4 hr with

POCl3 in PhNMe2 and COH6 to give I (R = Cl, Rl = 6-Cl, R2 = H). Similarly

prepared were 8 I (R = Cl), e.g. (Rl and R2 given): 7-Cl, H (II); 6-OMe, Cl.

Refluxing 8.4 g II 15 hr with HZNCIMe(CH2)3NE2; n CBGG gave 9.25 g I [R = NHCIMHe(CH2)3NE2, R] - 7-Cl, R2 = H), from which the di-HCl salt was also

prepared Similarly prepared were 14 addnl. I, e.g. (R-R2 and salt given):

morpholino, 7-Cl, Cl, -; 4-methyl-1-piperazinyl, 6-Cl, H, 1.5HCl.0.5H2O;

NHCHMe(CH2)3ME2, 7-OMe, H, ZRCL.ZH2O.

36945-47-89

RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)

36945-47-8 CAPLUS

Quinazoline, 7-methoxy-4-(4-morpholinyl)-2-(2-phenylethenyl)- (9CI) (CA

INDEX NAME)